

Title (en)

Saccharin derivative proteolytic enzyme inhibitors.

Title (de)

Saccharinderivate zur Verwendung als proteolytische Enzyminhibitoren.

Title (fr)

Dérivés de saccharine utilisables comme inhibiteurs d'enzymes protéolytiques.

Publication

EP 0542371 A1 19930519 (EN)

Application

EP 92203468 A 19921112

Priority

US 79303591 A 19911115

Abstract (en)

Compounds having the structural formula <CHEM> wherein L is N,O or SOn wherein n is 0,1 or 2; L-R<1> is a leaving group, H-L-R<1> is the conjugate acid thereof and, when L is N, H-L-R<1> has a pKa value less than or equal to 6, when L is O, H-L-R<1> has a pKa value less than or equal to 8, and when L is SOn, H-L-R<1> has a pKa value less than or equal to 5; R2 is primary or secondary alkyl of two to four carbon atoms, primary alkylamino of one to three carbon atoms, primary alkylmethylamino of two to four carbon atoms, diethylamino or primary alkoxy of one to three carbon atoms; and R3 is from one to three of a variety of substituents at any or all of the 5-, 6- and 7-positions; or a pharmaceutically acceptable acid addition salt thereof if the compound has a basic functional group or a pharmaceutically acceptable base addition salt thereof if the compound has an acidic functional group, which inhibit the enzymatic activity of proteolytic enzymes, and processes for preparation thereof, method of use thereof in treatment of degenerative diseases and pharmaceutical compositions thereof are disclosed.

IPC 1-7

A61K 31/425; **C07D 275/06**; **C07D 417/12**

IPC 8 full level

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A61P 11/00 (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 43/00** (2017.12 - EP); **C07D 275/06** (2013.01 - EP KR); **C07D 417/12** (2013.01 - EP)

Citation (search report)

- [XD] WO 9013549 A1 19901115 - STERLING DRUG INC [US]
- [XP] EP 0483928 A1 19920506 - STERLING WINTHROP INC [US]
- [A] JOURNAL OF HETEROCYCLIC CHEMISTRY. vol. 26, no. 4, July 1989, PROVO US pages 1073 - 1076 SAM-YOUNG CHOI ET AL 'Reaction of N-hydroxymethylsaccharin with aliphatic carboxylic derivatives:synthesis of N-acylsaccharins and N(saccharinylmethyl)aliphatic carboxylates'

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Designated contracting state (EPC)

AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE

DOCDB simple family (publication)

EP 0542371 A1 19930519; AU 2605792 A 19930520; AU 656027 B2 19950119; CA 2082774 A1 19930516; CZ 338892 A3 19930616; FI 925166 A0 19921113; FI 925166 A 19930516; HU 211664 A9 19951228; HU 9203561 D0 19930128; HU T65694 A 19940728; IL 103747 A0 19930404; IL 103747 A 19980615; JP H06122675 A 19940506; KR 930010016 A 19930621; MX 9206568 A 19930501; NO 302887 B1 19980504; NO 924402 D0 19921113; NO 924402 L 19930518; NZ 245126 A 19960126; RU 2114835 C1 19980710; SG 52778 A1 19980928; TW 282463 B 19960801

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